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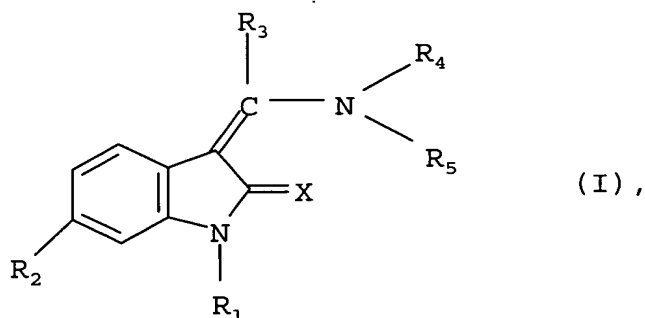
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Patent Claims

5

1. A compound of formula



wherein

10

X denotes an oxygen or sulphur atom,

R<sub>1</sub> denotes a hydrogen atom or a prodrug group such as a C<sub>1-4</sub>-alkoxy-carbonyl or C<sub>2-4</sub>-alkanoyl group,

15

R<sub>2</sub> denotes a hydrogen, fluorine, chlorine or bromine atom,

a cyano or nitro group,

20

a carboxy group, a straight-chain or branched C<sub>1-6</sub>-alkoxy-carbonyl group, a C<sub>3-6</sub>-cycloalkoxy-carbonyl or an aryloxy-carbonyl group,

an allyloxy-carbonyl group optionally substituted by one or two methyl groups,

a straight-chain or branched C<sub>1-4</sub>-alkoxy-carbonyl group which is terminally substituted in the alkyl moiety by a phenyl, heteroaryl, carboxy, C<sub>1-3</sub>-alkoxy-carbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylamino-carbonyl or di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group,

- 5 a straight-chain or branched C<sub>2-6</sub>-alkoxy-carbonyl group which is terminally substituted in the alkyl moiety by a chlorine atom or a hydroxy, C<sub>1-3</sub>-alkoxy, amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group, or

- an aminocarbonyl, C<sub>1-4</sub>-alkyl-aminocarbonyl or a di-(C<sub>1-4</sub>-alkyl)-aminocarbonyl group,  
10 while the alkyl groups, if they have more than one carbon atom, may be terminally substituted by a hydroxy, C<sub>1-3</sub>-alkoxy or di-(C<sub>1-3</sub>-alkyl)-amino group,

R<sub>3</sub> denotes a five or six-membered heteroaryl group, where

- 15 the 6-membered heteroaryl group contains one, two or three nitrogen atoms and

the 5-membered heteroaryl group contains an imino group optionally substituted by a C<sub>1-3</sub>-alkyl, carboxy-C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group, an oxygen or sulphur atom or

20

an imino group optionally substituted by a C<sub>1-3</sub>-alkyl, carboxy-C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group or an oxygen or sulphur atom and additionally a nitrogen atom or

- 25 an imino group optionally substituted by a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group, an oxygen atom or a sulphur atom and two nitrogen atoms,

- and furthermore a phenyl ring may be fused to the abovementioned monocyclic heterocyclic groups via two adjacent carbon atoms, the hydrogen atom of a  
30 methyne group may be replaced by a C<sub>1-3</sub>-alkyl, carboxy-C<sub>1-3</sub>-alkyl, amino, C<sub>1-3</sub>-alkyl-amino, di-(C<sub>1-3</sub>-alkyl)-amino, phenyl-C<sub>1-3</sub>-alkyl-amino or di-(phenyl-C<sub>1-3</sub>-

alkyl)-amino group and the bond is made via a carbon atom of the heterocyclic moiety,

5 a 5- to 6-membered cyclic oxime ether which is linked to the methyldene group via the carbon atom adjacent to the nitrogen atom,

an imidazo[1,2-a]pyridin-6-yl or imidazo[1,2-a]pyridin-7-yl group

10 or a bicyclic group consisting of

a phenyl ring which is linked to the methyldene group, and

15 an -O-CH<sub>2</sub>-CH<sub>2</sub>, -O-CH<sub>2</sub>-O, -O-CF<sub>2</sub>-O, -O-CH<sub>2</sub>-CH<sub>2</sub>-O, -O-CH=CH-O, -S-CH=N, -NH-CH=N, -N=C(C<sub>1-3</sub>-alkyl)-NH, -N=C(carboxy-C<sub>1-3</sub>-alkyl)-NH, -N(C<sub>1-3</sub>-alkyl)-CH=N, -N(carboxy-C<sub>1-3</sub>-alkyl)-CH=N, -N(C<sub>1-3</sub>-alkyl)-C(C<sub>1-3</sub>-alkyl)=N, -N=CH-CH=N, -N=CH-N=CH, -N=CH-N=C(C<sub>1-3</sub>-alkyl), -N=CH-N=C(carboxy-C<sub>1-3</sub>-alkyl), -N=CH-CH=CH, -N=CH-CH=C(C<sub>1-3</sub>-alkyl), -N=CH-CH=C(carboxy-C<sub>1-3</sub>-alkyl), -N=N-NH, -N=N-N(C<sub>1-3</sub>-alkyl), -N=N-N(carboxy-C<sub>1-3</sub>-alkyl), -CH=CH-NH, -CH=CH-N(C<sub>1-3</sub>-alkyl), -CH=CH-N(carboxy-C<sub>1-3</sub>-alkyl), -N=CH-C(O)-N(C<sub>1-3</sub>-alkyl), -O-CH<sub>2</sub>-C(O)-N(C<sub>1-3</sub>-alkyl), -CH=N-N=CH, -O-C(O)-CH<sub>2</sub>-N(C<sub>1-3</sub>-alkyl), -O-CH<sub>2</sub>-C(O)-NH, -O-CH<sub>2</sub>-CH<sub>2</sub>-N(C<sub>1-3</sub>-alkyl), -O-C(O)-N(C<sub>1-3</sub>-alkyl), -O-C(O)-NH, -CO-NH-CO or -CO-N(C<sub>1-3</sub>-alkyl)-CO bridge, which is  
20 linked in each case to two adjacent carbon atoms of the phenyl ring,

25 while the hydrogen atom of any carboxy group contained in R<sub>3</sub> may be replaced by a prodrug group,

R<sub>4</sub> denotes a C<sub>3-7</sub>-cycloalkyl group,

while the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be substituted by an amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group or may be replaced by an -NH or -N(C<sub>1-3</sub>-alkyl) group,

- 5 or a phenyl group substituted by the group R<sub>6</sub> in the 3- or 4-position which may additionally be mono- or disubstituted by fluorine, chlorine, bromine or iodine atoms, by C<sub>1-5</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1-3</sub>-alkoxy, carboxy, C<sub>1-3</sub>-alkoxycarbonyl, amino, acetylamino, C<sub>1-3</sub>-alkyl-sulphonylamino, aminocarbonyl, C<sub>1-3</sub>-alkyl-aminocarbonyl, di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl, aminosulphonyl, C<sub>1-3</sub>-alkyl-aminosulphonyl,  
10 di-(C<sub>1-3</sub>-alkyl)-aminosulphonyl, nitro or cyano groups, while the substituents may be identical or different and

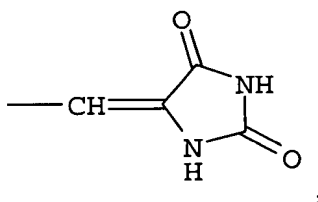
R<sub>6</sub> denotes a hydrogen, fluorine, chlorine, bromine or iodine atom,

- 15 a cyano, nitro, amino, C<sub>1-5</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl, trifluoromethyl, phenyl or heteroaryl group,

a tetrazolyl group optionally substituted by a C<sub>1-3</sub>-alkyl group,

- 20 a 2-pyrrolidon-1-yl group wherein the methylene group adjacent to the carbonyl group may be replaced by an oxygen atom or an -NH or -N(C<sub>1-3</sub>-alkyl) group,

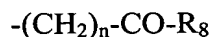
the group of formula



25

wherein the hydrogen atoms bound to a nitrogen atom may each be replaced independently of one another by a C<sub>1-3</sub>-alkyl group,

a group of formula



5    wherein

$\text{R}_8$  denotes a hydroxy or  $\text{C}_{1-4}$ -alkoxy group,

a 5- to 7-membered cycloalkyleneimino group,

10

while the methylene group in position 3 or 4 of a 5-, 6- or 7-membered cycloalkyleneimino group may be substituted by an amino,  $\text{C}_{1-3}$ -alkyl-amino or di- $(\text{C}_{1-3}$ -alkyl)-amino group

15

or the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen atom, a sulphur atom, a sulphinyl or sulphonyl group, an  $-\text{NH}$ ,  $-\text{N}(\text{allyl})$  or  $-\text{N}(\text{C}_{1-3}\text{-alkyl})$  group

20

and in the abovementioned cyclic groups one or two hydrogen atoms may be replaced by a  $\text{C}_{1-3}$ -alkyl group,

a 2,5-dihydropyrrol-1-yl group or

a  $\text{C}_{3-7}$ -cycloalkyl group,

25

while the methylene group in position 3 or 4 of the 5-, 6- or 7-membered cycloalkyl moiety may be substituted by an amino,  $\text{C}_{1-3}$ -alkylamino or di- $(\text{C}_{1-3}$ -alkyl)-amino group or

30

the methylene group in the 4 position of the 6- or 7-membered cycloalkyl moiety may be replaced by an  $-\text{NH}$ ,  $-\text{N}(\text{allyl})$  or  $-\text{N}(\text{C}_{1-3}\text{-alkyl})$  group,

and n denotes one of the numbers 0, 1 or 2,

a group of formula

5



wherein

10

$\text{R}_9$  denotes a hydrogen atom,

an allyl group,

15

a  $\text{C}_{1-4}$ -alkyl group optionally substituted by a cyano, carboxy, phenyl or pyridyl group or

a  $\text{C}_{2-4}$ -alkyl group terminally substituted by a hydroxy or  $\text{C}_{1-3}$ -alkoxy group,

20

$\text{R}_{10}$  denotes a hydrogen atom,

a  $\text{C}_{1-3}$ -alkyl group,

25

a  $\text{C}_{2-3}$ -alkyl group terminally substituted by a hydroxy,  $\text{C}_{1-3}$ -alkoxy,  $\text{C}_{1-3}$ -alkylamino or di- $(\text{C}_{1-3}$ -alkyl)-amino group or

a 3- to 7-membered cycloalkyl group,

30

wherein a methylene group may be replaced by an oxygen atom or an -NH or -N( $\text{C}_{1-3}$ -alkyl) group and independently thereof a methylene group may be replaced by a carbonyl group,

and o denotes one of the numbers 0, 1 or 2,

a C<sub>1-3</sub>-alkyl group substituted by the group R<sub>7</sub>, where

5 R<sub>7</sub> denotes a C<sub>3-7</sub>-cycloalkyl group,

while one of the methylene groups may be substituted by an amino,  
C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group or

10 the methylene group in position 3 or 4 of a 5-, 6- or 7-membered cycloalkyl  
group may be replaced by an -NH, -N(allyl) or -N(C<sub>1-3</sub>-alkyl) group or

in a 5- to 7-membered cycloalkyl group a -(CH<sub>2</sub>)<sub>2</sub> group may be replaced by a  
-CO-NH group, a -(CH<sub>2</sub>)<sub>3</sub> group may be replaced by an -NH-CO-NH or  
15 -CO-NH-CO group or a -(CH<sub>2</sub>)<sub>4</sub> group may be replaced by an -  
NH-CO-NH-CO group, while in each case a hydrogen atom bound to a  
nitrogen atom may be replaced by a C<sub>1-3</sub>-alkyl group,

an aryl or heteroaryl group,

20

a triazolyl group,

a hydroxy or C<sub>1-3</sub>-alkoxy group,

25

an amino, C<sub>1-7</sub>-alkylamino, di-(C<sub>1-7</sub>-alkyl)-amino, N-(C<sub>1-7</sub>-alkyl)-allylamino,  
phenylamino, N-phenyl-C<sub>1-3</sub>-alkyl-amino, phenyl-C<sub>1-3</sub>-alkylamino,  
N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino or di-(phenyl-C<sub>1-3</sub>-alkyl)-amino group,

30

an allylamino group wherein one or two vinylic hydrogen atoms may each be  
replaced by a methyl group,



a  $\omega$ -hydroxy-C<sub>2-3</sub>-alkyl-amino, N-(C<sub>1-3</sub>-alkyl)- $\omega$ -hydroxy-C<sub>2-3</sub>-alkyl-amino,  
di-( $\omega$ -hydroxy-C<sub>2-3</sub>-alkyl)-amino,  $\omega$ -(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl-amino- N-(C<sub>1-3</sub>-alkyl)-  
[ $\omega$ -(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl]-amino, di-[ $\omega$ -(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl]-amino or  
N-(dioxolan-2-yl)-C<sub>1-3</sub>-alkyl-amino group,

5

a C<sub>1-3</sub>-alkylcarbonylamino-C<sub>2-3</sub>-alkyl-amino or C<sub>1-3</sub>-alkylcarbonylamino-  
C<sub>2-3</sub>-alkyl-N-(C<sub>1-3</sub>-alkyl)-amino group,

a pyridylamino group,

10

a C<sub>1-3</sub>-alkylsulphonylamino, N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkylsulphonylamino, C<sub>1-3</sub>-alkyl-  
sulphonylamino-C<sub>2-3</sub>-alkyl-amino or C<sub>1-3</sub>-alkylsulphonylamino-C<sub>2-3</sub>-alkyl-N-  
(C<sub>1-3</sub>-alkyl)-amino group,

15

a hydroxycarbonyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-hydroxycarbonyl-  
C<sub>1-3</sub>-alkyl-amino group,

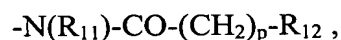
a guanidino group wherein one or two hydrogen atoms may each be replaced by a  
C<sub>1-3</sub>-alkyl group,

20

a 2-pyrrolidon-1-yl group wherein the methylene group adjacent to the carbonyl  
group may be replaced by an oxygen atom or by an -NH or -N(C<sub>1-3</sub>-alkyl) group,

a group of formula

25



wherein

30

R<sub>11</sub> denotes a hydrogen atom or an allyl, C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkyl-amino-C<sub>2-3</sub>-alkyl  
or di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>2-3</sub>-alkyl group,

p denotes one of the numbers 0, 1, 2 or 3 and

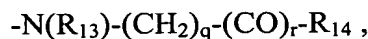
R<sub>12</sub> denotes an amino, C<sub>1-4</sub>-alkylamino, allylamino, di-allyl-amino, di-(C<sub>1-4</sub>-alkyl)-amino, phenylamino, N-(C<sub>1-4</sub>-alkyl)-phenylamino, benzylamino, N-(C<sub>1-4</sub>-alkyl)-benzylamino, C<sub>1-4</sub>-alkoxy or 2,5-dihydropyrrol-1-yl group or

a 4- to 7-membered cycloalkyleneimino group,

while in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl), -N(allyl), -N(phenyl), -N(C<sub>1-3</sub>-alkyl-carbonyl) or -N(benzoyl) group,

or, if n denotes one of the numbers 1, 2 or 3, it may also represent a hydrogen atom,

a group of formula



wherein

R<sub>13</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl, allyl, C<sub>1-3</sub>-alkyl-carbonyl, arylcarbonyl, pyridylcarbonyl, phenyl-C<sub>1-3</sub>-alkyl-carbonyl, C<sub>1-3</sub>-alkylsulphonyl, arylsulphonyl or phenyl-C<sub>1-3</sub>-alkylsulphonyl group,

q denotes one of the numbers 1, 2, 3 or 4,

r denotes the number 1 or, if q denotes one of the numbers 2, 3 or 4, r may also denote the number 0 and

R<sub>14</sub> denotes a hydroxy, amino, C<sub>1-4</sub>-alkylamino, di-(C<sub>1-4</sub>-alkyl)-amino, phenylamino, N-(C<sub>1-4</sub>-alkyl)-phenylamino, benzylamino, N-(C<sub>1-4</sub>-alkyl)-benzylamino, C<sub>1-4</sub>-alkoxy or C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy group,

5

a di-(C<sub>1-4</sub>-alkyl)-amino-C<sub>1-3</sub>-alkylamino group optionally substituted in the 1 position by a C<sub>1-3</sub>-alkyl group or

a 4- to 7-membered cycloalkyleneimino group,

10

while the cycloalkylene moiety may be fused to a phenyl ring or

in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl), -N(phenyl), -N(C<sub>1-3</sub>-alkyl-carbonyl) or -N(benzoyl) group,

15

a C<sub>4-7</sub>-cycloalkylamino, C<sub>4-7</sub>-cycloalkyl-C<sub>1-3</sub>-alkylamino or C<sub>4-7</sub>-cycloalkenylamino group wherein position 1 of the ring is not involved in the double bond and the abovementioned groups may each additionally be substituted at the amino-nitrogen atom by a C<sub>5-7</sub>-cycloalkyl, C<sub>2-4</sub>-alkenyl or C<sub>1-4</sub>-alkyl group,

20

a 2,5-dihydro-pyrrol-1-yl group or

25

a 4- to 7-membered cycloalkyleneimino group wherein

the cycloalkylene moiety may be fused to a phenyl group or to an oxazolo, imidazolo, thiazolo, pyridino, pyrazino or pyrimidino group optionally substituted by a fluorine, chlorine, bromine or iodine atom, by a nitro, C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy or amino group and/or

30

one or two hydrogen atoms may each be replaced by a C<sub>1-3</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl, hydroxy, C<sub>1-3</sub>-alkoxy, hydroxy-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl or phenyl group and/or

5 the methylene group in position 3 of a 5-membered cycloalkyleneimino group may be substituted by a hydroxy, hydroxy-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy or C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl group,

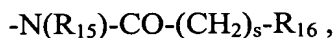
10 in each case the methylene group in position 3 or 4 of a 6- or 7-membered cycloalkyleneimino group may be substituted by a hydroxy, hydroxy-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl, carboxy, C<sub>1-4</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl, di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl, di-(C<sub>1-3</sub>-alkyl)-amino, phenyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino group or

15 the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl), -N(allyl), -N(phenyl), -N(phenyl-C<sub>1-3</sub>-alkyl), -N(C<sub>1-3</sub>-alkyl-carbonyl), -N(C<sub>1-4</sub>-hydroxy-carbonyl),  
20 -N(C<sub>1-4</sub>-alkoxy-carbonyl), -N(benzoyl) or -N(phenyl-C<sub>1-3</sub>-alkyl-carbonyl) group,

25 while a methylene group linked to an imino-nitrogen atom of the cycloalkyleneimino group may be replaced by a carbonyl or sulphonyl group or in a 5- to 7-membered monocyclic cycloalkyleneimino group or a cycloalkyleneimino group fused to a phenyl group the two methylene groups linked to the imino-nitrogen atom may each be replaced by a carbonyl group,

30

or R<sub>6</sub> denotes a group of formula



wherein

5

$R_{15}$  denotes a hydrogen atom, an allyl,  $C_{1-6}$ -alkyl,  $C_{3-7}$ -cycloalkyl or pyridinyl group,

10

a  $C_{1-3}$ -alkyl group terminally substituted by a phenyl, heteroaryl, trifluoromethyl, aminocarbonyl,  $C_{1-4}$ -alkylamino-carbonyl, di- $(C_{1-4}$ -alkyl)-amino-carbonyl,  $C_{1-3}$ -alkyl-carbonyl,  $C_{1-3}$ -alkyl-aminosulphonyl or di- $(C_{1-3}$ -alkyl)-aminosulphonyl group or

15

a  $C_{2-3}$ -alkyl group terminally substituted by a hydroxy,  $C_{1-3}$ -alkoxy, amino,  $C_{1-3}$ -alkylamino, allylamino, di- $(C_{1-3}$ -alkyl)-amino,  $C_{1-3}$ -alkyl-sulphonylamino or  $N$ -( $C_{1-3}$ -alkyl)- $C_{1-3}$ -alkyl-sulphonylamino group and

$s$  denotes one of the numbers 0, 1, 2 or 3 and

20

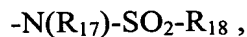
$R_{16}$  takes on the meanings of the abovementioned group  $R_7$  or

denotes a carboxy group or,

25

if  $s$  denotes one of the numbers 1, 2 or 3,  $R_{16}$  also denotes a hydrogen atom,

a group of formula



wherein

30

$R_{17}$  denotes a hydrogen atom,

an allyl, C<sub>1-4</sub>-alkyl or cyanomethyl group or

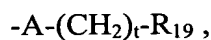
a C<sub>2-4</sub>-alkyl group terminally substituted by a cyano, amino, C<sub>1-3</sub>-alkylamino, di-  
5 (C<sub>1-3</sub>-alkyl)-amino, trifluoromethyl-carbonyl-amino or  
N-(C<sub>1-3</sub>-alkyl)-trifluoromethyl-carbonyl-amino group and

R<sub>18</sub> denotes a C<sub>1-4</sub>-alkyl, phenyl or pyridyl group,

10 an amino group substituted by a di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>1-3</sub>-alkyl-carbonyl or  
di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>1-3</sub>-alkyl-sulphonyl group and a di-(C<sub>1-3</sub>-alkyl)-  
aminocarbonyl-C<sub>1-3</sub>-alkyl group,

or a group of formula

15



wherein

20 A denotes an oxygen or sulphur atom or a sulphinyl or sulphonyl group,

R<sub>19</sub> denotes a hydrogen atom, a hydroxy, C<sub>1-3</sub>-alkoxy, aryl, heteroaryl, amino, C<sub>1-4</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group

25 or a 4- to 7-membered cycloalkyleneimino group,

while the methylene group in position 3 of a 5-membered cycloalkyleneimino  
group may be substituted by a hydroxy, hydroxy-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy-  
C<sub>1-3</sub>-alkyl group,

30

in each case the methylene group in position 3 or 4 of a 6- or 7-membered cycloalkyleneimino group may be substituted by a hydroxy, hydroxy-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl, carboxy, C<sub>1-4</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl or  
5 di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group or

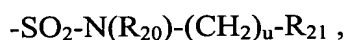
may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH or -N(C<sub>1-3</sub>-alkyl-) group, and

10 t denotes one of the numbers 2 or 3 or,

if R<sub>19</sub> denotes a hydrogen atom, an aryl or heteroaryl group, it may also denote the number 1 or,

15 if A denotes a sulphonyl group, it may also denote the number 0,

or a group of formula



20

wherein

R<sub>20</sub> denotes a hydrogen atom, an allyl or C<sub>1-3</sub>-alkyl group,

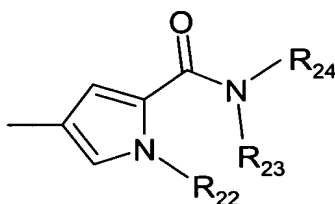
25 R<sub>21</sub> denotes a hydrogen atom, a hydroxy, C<sub>1-3</sub>-alkoxy, amino, C<sub>1-3</sub>-alkylamino or a di-(C<sub>1-3</sub>-alkyl)-amino group and

u denotes one of the numbers 2, 3 or 4 or,

30 if R<sub>21</sub> denotes a hydrogen atom, it may also denote the number 1,

while all the single-bonded or fused-on phenyl groups contained in the groups mentioned under  $R_6$  may be mono- or disubstituted by fluorine, chlorine, bromine or iodine atoms, by  $C_{1-5}$ -alkyl, trifluoromethyl, hydroxy,  $C_{1-3}$ -alkoxy, carboxy,  $C_{1-3}$ -alkoxy-carbonyl, aminocarbonyl,  $C_{1-4}$ -alkylamino-carbonyl, di- $(C_{1-4}$ -alkyl)-amino-carbonyl, aminosulphonyl,  $C_{1-3}$ -alkyl-aminosulphonyl, di- $(C_{1-3}$ -alkyl)-aminosulphonyl,  $C_{1-3}$ -alkyl-sulphonylamino, nitro or cyano groups, while the substituents may be identical or different, or two adjacent hydrogen atoms of the phenyl groups may be replaced by a methylenedioxy group,

or  $R_4$  denotes a group of formula



wherein

$R_{22}$  denotes a  $C_{1-3}$ -alkyl group,

$R_{23}$  denotes a hydrogen atom,

an allyl group,

a  $C_{1-4}$ -alkyl group optionally substituted by a cyano, carboxy, phenyl or pyridyl group or

a  $C_{2-4}$ -alkyl group terminally substituted by a hydroxy or  $C_{1-3}$ -alkoxy group and

$R_{24}$  denotes a hydrogen atom,



a C<sub>1-3</sub>-alkyl group,

a C<sub>2-3</sub>-alkyl group terminally substituted by a hydroxy, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group,

or a 3-7-membered cycloalkyl group,

while a methylene group may be replaced by an oxygen atom or by a -NH or -N(C<sub>1-3</sub>-alkyl) group and independently thereof a methylene group may be replaced by a carbonyl group,

or R<sub>23</sub> and R<sub>24</sub> together with the nitrogen atom to which they are linked form

a 2,5-dihydro-pyrrol-1-yl group or

a 5- to 7-membered cycloalkyleneimino group,

while the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by an amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group or may be replaced by an oxygen atom, a sulphur atom, a sulphinyl or sulphonyl group or an -NH or -N(C<sub>1-3</sub>-alkyl) group

and one or two hydrogen atoms in the 5- to 7-membered cycloalkyleneimino group may be replaced by a C<sub>1-3</sub>-alkyl group,

and

R<sub>5</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

while by the term aryl group is meant a phenyl or naphthyl group optionally mono- or disubstituted by a fluorine, chlorine, bromine or iodine atom, by a cyano, trifluoromethyl, nitro, carboxy, aminocarbonyl, C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group and

- 5 by the term heteroaryl group is meant, unless otherwise stated, a monocyclic 5- or 6-membered heteroaryl group optionally substituted in the carbon skeleton by one or two C<sub>1-3</sub>-alkyl groups, wherein

the 6-membered heteroaryl group contains one, two or three nitrogen atoms and

10

the 5-membered heteroaryl group contains an imino group optionally substituted by a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group, an oxygen or sulphur atom or

15

an imino group optionally substituted by a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group or an oxygen or sulphur atom and additionally a nitrogen atom or

an imino group optionally substituted by a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group and two nitrogen atoms,

20

and moreover a phenyl ring may be fused to the abovementioned monocyclic heterocyclic groups via two adjacent carbon atoms, the hydrogen atom of one or two methyne groups may be replaced by a C<sub>1-3</sub>-alkyl, amino, C<sub>1-3</sub>-alkyl-amino or di-(C<sub>1-3</sub>-alkyl)-amino group and the bond is via a nitrogen atom or via a carbon atom of the heterocyclic moiety or of a fused phenyl ring,

25

the hydrogen atoms in the abovementioned alkyl and alkoxy groups or in the alkyl moieties contained in the above-defined groups of formula I may be wholly or partly replaced by fluorine atoms,

the saturated alkyl and alkoxy moieties containing more than 2 carbon atoms present in the groups defined above, also include the branched isomers thereof such as for example the isopropyl, tert.butyl, isobutyl group, unless otherwise stated, and

- 5 wherein additionally the hydrogen atom of any carboxy group present or a hydrogen atom bound to a nitrogen atom, for example an amino, alkylamino or imino group or a saturated N-heterocycle such as the piperidinyl group, may each be replaced by a group which can be cleaved *in vivo*,
- 10 the tautomers, the diastereomers, the enantiomers, the mixtures thereof, the prodrugs thereof and the salts thereof.

2. The compound according to claim 1, wherein

15

X denotes an oxygen atom,

R<sub>1</sub> denotes a hydrogen atom or a prodrug group such as a C<sub>1-4</sub>-alkoxy-carbonyl or C<sub>2-4</sub>-alkanoyl group,

20

R<sub>2</sub> denotes a hydrogen, fluorine, chlorine or bromine atom,

a cyano or nitro group,

- 25 a carboxy group, a straight-chain or branched C<sub>1-4</sub>-alkoxy-carbonyl group or a C<sub>3-4</sub>-cycloalkoxy-carbonyl group,

an allyloxycarbonyl group optionally substituted by one or two methyl groups,

- 30 a straight-chain or branched C<sub>2-3</sub>-alkoxy-carbonyl group which is terminally substituted in the alkyl moiety by a hydroxy or C<sub>1-3</sub>-alkoxy group, or

an aminocarbonyl, C<sub>1-4</sub>-alkyl-aminocarbonyl or a di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group, while the alkyl groups, if they have more than one carbon atom, may be terminally substituted by a C<sub>1-3</sub>-alkoxy group,

5

R<sub>3</sub> denotes a 2-pyrrolyl, 3-pyrrolyl, 1-(C<sub>1-3</sub>-alkyl)-3-pyrrolyl-, 1-(carboxy-C<sub>1-3</sub>-alkyl)-3-pyrrolyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-(carboxy-C<sub>1-3</sub>-alkyl)-thien-5-yl, 2-(carboxy-C<sub>1-3</sub>-alkyl)-thien-4-yl, 3-(carboxy-C<sub>1-3</sub>-alkyl)-thien-5-yl, 4-imidazolyl, 1-(C<sub>1-3</sub>-alkyl)-5-imidazolyl, 1-(C<sub>1-3</sub>-alkyl)-4-imidazolyl, 1-benzyl-5-imidazolyl, 5-(C<sub>1-3</sub>-alkyl)-  
10 isoxazol-3-yl, 3-pyridyl, 4-pyridyl, 2-(carboxy-C<sub>1-3</sub>-alkyl)-pyridine-5-yl, 3-(carboxy-C<sub>1-3</sub>-alkyl)-pyridine-5-yl, 2-(carboxy-C<sub>1-3</sub>-alkyl)-pyridine-4-yl, 2-pyrazinyl, 4-pyridazinyl group or

a pyrazol-3-yl group,

15

in which independently of one another the 1- and/or 5-position may be substituted in each case by a C<sub>1-3</sub>-alkyl or carboxy-C<sub>1-3</sub>-alkyl group,

a 5- to 6-membered cyclic oxime ether which is linked to the methylenide group via the  
20 carbon atom adjacent to the nitrogen atom,

an imidazo[1,2-a]pyridin-6-yl or imidazo[1,2-a]pyridin-7-yl group

or a bicyclic group consisting of

25

a phenyl ring which is linked to the methylenide group, and

an -O-CH<sub>2</sub>-CH<sub>2</sub>-, -O-CH<sub>2</sub>-O-, -O-CF<sub>2</sub>-O-, -O-CH<sub>2</sub>-CH<sub>2</sub>-O-, -O-CH=CH-O-, -S-  
CH=N-, -NH-CH=N-, -N=C(C<sub>1-3</sub>-alkyl)-NH-, -N=C(carboxy-C<sub>1-3</sub>-alkyl)-NH-, -N(C<sub>1-3</sub>-  
30 alkyl)-CH=N-, -N(carboxy-C<sub>1-3</sub>-alkyl)-CH=N-, -N(C<sub>1-3</sub>-alkyl)-C(C<sub>1-3</sub>-alkyl)=N-, -  
N=CH-CH=N-, -N=CH-N=CH-, -N=CH-N=C(C<sub>1-3</sub>-alkyl)-, -N=CH-CH=CH-, -

N=CH-CH=C(C<sub>1-3</sub>-alkyl), -CH=N-N=CH, -CH=CH-NH, -CH=CH-N(C<sub>1-3</sub>-alkyl),  
-N=N-NH, -N=N-N(C<sub>1-3</sub>-alkyl), -O-CH<sub>2</sub>-C(O)-N(C<sub>1-3</sub>-alkyl), -O-C(O)-CH<sub>2</sub>-N(C<sub>1-3</sub>-alkyl),  
-O-C(O)-N(C<sub>1-3</sub>-alkyl), -O-C(O)-NH, -O-CH<sub>2</sub>-CH<sub>2</sub>-N(C<sub>1-3</sub>-alkyl), or -  
CO-N(C<sub>1-3</sub>-alkyl)-CO bridge, which is linked in each case to two adjacent carbon  
5 atoms of the phenyl ring,

while the hydrogen atom of a carboxy group optionally contained in R<sub>3</sub> may be replaced  
by a prodrug group,

10 R<sub>4</sub> denotes a phenyl group substituted in the 3- or 4-position by the group R<sub>6</sub> which may  
additionally be substituted in a remaining 3, 4 or 5 position by a fluorine, chlorine,  
bromine or iodine atom or by a C<sub>1-3</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1-3</sub>-alkoxy, amino,  
nitro or cyano group, while

15 R<sub>6</sub> denotes a hydrogen, fluorine, chlorine, bromine or iodine atom,

a tetrazolyl group optionally substituted by a C<sub>1-3</sub>-alkyl group,

an imidazolyl group substituted at the imino-nitrogen and/or at a carbon atom by a C<sub>1-3</sub>-  
20 alkyl group,

a pyrazolyl group substituted at the imino-nitrogen and/or at one or two carbon atoms in  
each case independently of one another by a C<sub>1-3</sub>-alkyl group,

25 a 2-pyrrolidon-1-yl group wherein the methylene group adjacent to the carbonyl group  
may be replaced by an oxygen atom or an -NH or -N(C<sub>1-3</sub>-alkyl) group,

a group of formula

30  $-(CH_2)_n-CO-R_8$ ,

wherein

R<sub>8</sub> denotes a hydroxy group,

a 2,5-dihydropyrrol-1-yl group or

5 a 5- to 7-membered cycloalkyleneimino group,

while the methylene group in the 3 or 4 position of a 5-, 6- or 7-membered cycloalkyleneimino group may be substituted by an amino, C<sub>1-3</sub>-alkyl-amino or di-(C<sub>1-3</sub>-alkyl)-amino group

10

or the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen atom, a sulphur atom, a sulphinyl or sulphonyl group, an -NH or -N(C<sub>1-3</sub>-alkyl) group

15

and in the abovementioned cyclic groups one or two hydrogen atoms may be replaced by a C<sub>1-3</sub>-alkyl group,

and n denotes one of the numbers 0 or 1,

20 a group of formula



wherein

25

R<sub>9</sub> denotes a hydrogen atom,

an allyl group,

30

a C<sub>1-4</sub>-alkyl group optionally substituted by a cyano or carboxy group  
or

a C<sub>2-4</sub>-alkyl group terminally substituted by a hydroxy or C<sub>1-3</sub>-alkoxy group,

R<sub>10</sub> denotes a hydrogen atom,

a C<sub>1-3</sub>-alkyl group,

a C<sub>2-3</sub>-alkyl group terminally substituted by a hydroxy, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group or

a 3- to 7-membered cycloalkyl group,

wherein a methylene group may be replaced by an oxygen atom or an -NH or -N(C<sub>1-3</sub>-alkyl) group,

and o denotes one of the numbers 0 or 1,

a C<sub>1-2</sub>-alkyl group substituted by the group R<sub>7</sub>, where

R<sub>7</sub> denotes a C<sub>3-7</sub>-cycloalkyl group,

while the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be replaced by an -NH or -N(C<sub>1-3</sub>-alkyl) group or

a pyridyl or imidazolyl group optionally substituted by a C<sub>1-3</sub>-alkyl group,

a triazolyl group,

a hydroxy or C<sub>1-3</sub>-alkoxy group,

an amino, C<sub>1-4</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino, N-(C<sub>1-3</sub>-alkyl)-allylamino, phenyl-C<sub>1-2</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-2</sub>-alkylamino group,

an allylamino group wherein one or two vinylic hydrogen atoms may each be replaced by a methyl group,

a ω-hydroxy-C<sub>2-3</sub>-alkyl-amino, N-(C<sub>1-3</sub>-alkyl)-ω-hydroxy-C<sub>2-3</sub>-alkyl-amino, di-(ω-hydroxy-C<sub>2-3</sub>-alkyl)-amino, ω-(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl-amino-N-(C<sub>1-3</sub>-alkyl)-[ω-(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl]-amino or di-[ω-(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl]-amino group,

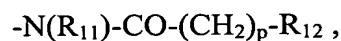
a pyridylamino group,

an N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkylsulphonylamino group,

a hydroxycarbonyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-hydroxycarbonyl-C<sub>1-3</sub>-alkyl-amino group,

a 2-pyrrolidon-1-yl group wherein the methylene group adjacent to the carbonyl group may be replaced by an oxygen atom or an -NH or -N(C<sub>1-3</sub>-alkyl) group,

a group of formula



wherein

R<sub>11</sub> denotes a hydrogen atom or an allyl, C<sub>1-3</sub>-alkyl group, C<sub>1-3</sub>-alkyl-amino-C<sub>2-3</sub>-alkyl or di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>2-3</sub>-alkyl group,

p denotes one of the numbers 0, 1 or 2 and



$R_{12}$  denotes an amino,  $C_{1-3}$ -alkylamino, allylamino, di- $(C_{1-2}$ -alkyl)-amino,  $C_{1-3}$ -alkoxy or 2,5-dihydro-pyrrol-1-yl group or

5 a 4- to 7-membered cycloalkyleneimino group,

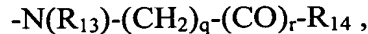
while in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom or by an -NH, -N(allyl) or -N( $C_{1-3}$ -alkyl) group,

10

or, if n denotes one of the numbers 1 or 2, it may also represent a hydrogen atom,

a group of formula

15



wherein

20

$R_{13}$  denotes a hydrogen atom or a  $C_{1-3}$ -alkyl or pyridylcarbonyl group,

q denotes one of the numbers 1 or 2,

r denotes the number 1 or, if q is the number 2, it may also denote the number 0  
25 and

$R_{14}$  denotes a hydroxy, amino,  $C_{1-3}$ -alkylamino, di- $(C_{1-3}$ -alkyl)-amino,  $C_{1-3}$ -alkoxy group or

30

a 4- to 7-membered cycloalkyleneimino group,

while in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by an -NH or -N(C<sub>1-3</sub>-alkyl) group,

5 a C<sub>4-7</sub>-cycloalkylamino, C<sub>3-5</sub>-cycloalkyl-C<sub>1-2</sub>-alkylamino or C<sub>4-7</sub>-cycloalkenylamino group wherein position 1 of the ring is not involved in the double bond and the abovementioned groups may each additionally be substituted at the amino-nitrogen atom by a C<sub>2-4</sub>-alkenyl or C<sub>1-3</sub>-alkyl group,

10 a 2,5-dihydro-pyrrol-1-yl group or

a 4- to 7-membered cycloalkyleneimino group wherein

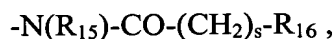
15 one or two hydrogen atoms may each be replaced by a C<sub>1-3</sub>-alkyl, hydroxy, C<sub>1-3</sub>-alkoxy, hydroxy-C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl group and/or

in each case the methylene group in the 3 or 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by a carboxy, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl or di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group or

20 the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl-), -N(allyl) or -N(C<sub>1-3</sub>-alkyl-carbonyl) group,

25 while a methylene group linked to an imino-nitrogen atom of the cycloalkyleneimino group may be replaced by a carbonyl or sulphonyl group,

30 or R<sub>6</sub> denotes a group of formula



wherein

5         $R_{15}$  denotes a hydrogen atom, an allyl,  $C_{1-4}$ -alkyl,  $C_{3-5}$ -cycloalkyl or pyridinyl group,

a  $C_{1-3}$ -alkyl group terminally substituted by a pyridyl, trifluoromethyl or di- $(C_{1-2}$ -alkyl)-amino-carbonyl group or

10        a  $C_{2-3}$ -alkyl group terminally substituted by a hydroxy,  $C_{1-3}$ -alkoxy, amino,  $C_{1-3}$ -alkylamino or di- $(C_{1-3}$ -alkyl)-amino group and

$s$  denotes one of the numbers 0, 1, 2 or 3 and

15         $R_{16}$  denotes a hydroxy,  $C_{1-3}$ -alkoxy, carboxy, amino,  $C_{1-3}$ -alkylamino, di- $(C_{1-3}$ -alkyl)-amino, 2,5-dihydropyrrol-1-yl or pyridinyl group or a 5- to 7-membered cycloalkyleneimino group,

20        while the methylene group in position 3 of a 5-membered cycloalkyleneimino group may be substituted by a hydroxy, hydroxy- $C_{1-3}$ -alkyl or  $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkyl group,

25        in each case the methylene group in the 3 or 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by a di- $(C_{1-3}$ -alkyl)-amino, hydroxy, hydroxy- $C_{1-3}$ -alkyl,  $C_{1-3}$ -alkoxy or  $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkyl group or

30        the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom or by an -NH or -N( $C_{1-3}$ -alkyl-) group,

or, if s denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

a group of formula

5  $-N(R_{17})-SO_2-R_{18}$  ,

wherein

$R_{17}$  denotes a hydrogen atom,

10 a  $C_{1-3}$ -alkyl or cyanomethyl group or

a  $C_{2-3}$ -alkyl group terminally substituted by a cyano, amino,  $C_{1-3}$ -alkylamino or di-  
( $C_{1-3}$ -alkyl)-amino group and

15  $R_{18}$  denotes a  $C_{1-4}$ -alkyl or pyridyl group,

or a group of formula

20  $-A-(CH_2)_t-R_{19}$  ,

wherein

A denotes an oxygen or sulphur atom or a sulphinyl or sulphonyl group,

25  $R_{19}$  denotes a hydrogen atom or a hydroxy,  $C_{1-3}$ -alkoxy, amino,  $C_{1-4}$ -alkylamino or  
di-( $C_{1-3}$ -alkyl)-amino group

or a 4- to 7-membered cycloalkyleneimino group,

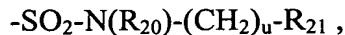
while in each case the methylene group in the 3 or 4 position of a 6- or 7-membered may be replaced by an oxygen or sulphur atom, by an -NH or -N(C<sub>1-3</sub>-alkyl-) group,

5 and t denotes one of the numbers 2 or 3

or, if R<sub>19</sub> is a hydrogen atom, it may also denote the number 1,

or a group of formula

10



wherein

R<sub>20</sub> denotes a hydrogen atom or an allyl or C<sub>1-3</sub>-alkyl group,

15

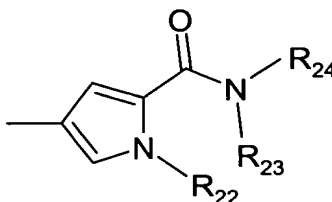
R<sub>21</sub> denotes a hydrogen atom, a hydroxy, C<sub>1-3</sub>-alkoxy, amino, C<sub>1-3</sub>-alkylamino or a di-(C<sub>1-3</sub>-alkyl)-amino group and

u denotes one of the numbers 2, 3 or 4

20

or, if R<sub>21</sub> is a hydrogen atom, it may also denote the number 1,

or R<sub>4</sub> denotes a group of formula



25

wherein

R<sub>22</sub> denotes a methyl group,

R<sub>23</sub> denotes a hydrogen atom or an allyl or C<sub>1-3</sub>-alkyl group and

5 R<sub>24</sub> denotes a hydrogen atom, a C<sub>1-3</sub>-alkyl group or

a C<sub>2-3</sub>-alkyl group terminally substituted by a hydroxy, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino group or by a di-(C<sub>1-3</sub>-alkyl)-amino group,

10 or R<sub>23</sub> and R<sub>24</sub> together with the nitrogen atom to which they are linked form

a 2,5-dihydro-pyrrol-1-yl group or

a 5- to 7-membered cycloalkyleneimino group,

15

while the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by an amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group or may be replaced by an oxygen atom, an -NH or -N(C<sub>1-3</sub>-alkyl) group,

20

and

R<sub>5</sub> denotes a hydrogen atom,

25 while the hydrogen atoms in the abovementioned alkyl and alkoxy groups or in the alkyl moieties contained in the above-defined groups of formula I may be wholly or partially replaced by fluorine atoms,

the saturated alkyl and alkoxy moieties containing more than 2 carbon atoms present in  
30 the groups defined above, also include the branched isomers thereof, such as for example the isopropyl, tert.butyl, isobutyl group, unless otherwise stated,

the tautomers, the diastereomers, the enantiomers, the mixtures thereof, the prodrugs thereof and the salts thereof.

5

3. The compound according to claim 2, wherein

X denotes an oxygen atom,

10  $R_1$  and  $R_5$  in each case denote a hydrogen atom,

$R_2$  denotes a hydrogen, fluorine, chlorine or bromine atom,

a cyano group or

15

a carboxy-  $C_{1-2}$ -alkoxycarbonyl, allyloxycarbonyl,  $C_{1-3}$ -alkylaminocarbonyl or di-( $C_{1-2}$ -alkyl)-aminocarbonyl group

20

$R_3$  denotes a 2-pyrrolyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-(carboxy- $C_{1-3}$ -alkyl)-thien-5-yl, 2-(carboxy- $C_{1-3}$ -alkyl)-thien-4-yl, 3-(carboxy- $C_{1-3}$ -alkyl)-thien-5-yl, 4-imidazolyl, 5-( $C_{1-3}$ -alkyl)-pyrazol-3-yl, 5-( $C_{1-3}$ -alkyl)-isoxazol-3-yl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 4-pyridazinyl, benzimidazol-5-yl, 1-( $C_{1-3}$ -alkyl)-benzimidazol-5-yl, 2-( $C_{1-3}$ -alkyl)-benzimidazol-5-yl, 2,3-dihydro-benzofuran-5-yl, 2,3-dihydro-benzofuran-6-yl, 3,4-methylenedioxy-1-phenyl, 3,4-ethylenedioxy-1-phenyl, 3,4-(difluoromethylenedioxy)-1-phenyl, 2-( $C_{1-3}$ -alkyl)-isoindol-1,3-dion-5-yl, quinoxalin-6-yl or 1-( $C_{1-3}$ -alkyl)-benzo-  
25 triazol-5-yl group,

30

$R_4$  denotes a phenyl group substituted in the 3 or 4 position by the group  $R_6$  which may additionally be substituted in the remaining 3 or 4 position by a fluorine or chlorine atom or by a ( $C_{1-3}$ )-alkoxy or cyano group, while

R<sub>6</sub> denotes a 1-(C<sub>1-3</sub>-alkyl)-imidazol-2-yl group,

a 5-(C<sub>1-3</sub>-alkyl)-pyrazol-1-yl group which may additionally be substituted in the 3 position by a C<sub>1-3</sub>-alkyl group,

5

a pyrrolid-2-on-1-yl group,

a C<sub>1-2</sub>-alkyl group terminally substituted by the group R<sub>7</sub>, where

10

R<sub>7</sub> denotes an amino, allylamino, C<sub>1-4</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group,

a ω-hydroxy-C<sub>2-3</sub>-alkyl-amino, N-(C<sub>1-3</sub>-alkyl)-ω-hydroxy-C<sub>2-3</sub>-alkyl-amino, ω-(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl-amino or N-(C<sub>1-3</sub>-alkyl)-[ω-(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl]-amino group,

15

a pyridylamino group,

a 5- to 7-membered cycloalkyleneimino group wherein

20

a carbon atom may be substituted with a hydroxy or hydroxymethyl group, with the exception of substitution by a hydroxyl group at a carbon atom adjacent to the nitrogen atom,

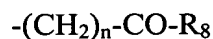
25

a 6- to 7-membered cycloalkyleneimino group wherein the methylene group in the 4 position may be replaced by an oxygen atom or an -NH, -N-(allyl) or-N(C<sub>1-3</sub>-alkyl) group, or

a triazolyl group bonded via the nitrogen atom in position 1 or 2,

30 or R<sub>6</sub> denotes a group of formula





wherein

5  $\text{R}_8$  denotes a pyrrolidino, 2,5-dihydro-pyrrol-1-yl, piperidino, morpholino, thiomorpholino or a piperazino or perhydro-1,4-diazepino group optionally substituted in the 4 position by a  $\text{C}_{1-3}$ -alkyl group

and  $n$  denotes one of the numbers 0 or 1,

10 a group of formula



wherein

15  $\text{R}_9$  denotes a hydrogen atom, an allyl group or a  $\text{C}_{1-3}$ -alkyl group optionally terminally substituted by a cyano group and

$\text{R}_{10}$  denotes a hydrogen atom,

20 a  $\text{C}_{1-3}$ -alkyl group,

a  $\text{C}_{2-3}$ -alkyl group terminally substituted by a  $\text{C}_{1-3}$ -alkylamino or di- $(\text{C}_{1-3}$ -alkyl)-amino group or

25 a 3- to 7-membered cycloalkyl group wherein a methylene group may be replaced by an  $-\text{NH}$  or  $-\text{N}(\text{C}_{1-3}\text{-alkyl})$  group,

a group of formula



wherein

R<sub>15</sub> denotes a hydrogen atom, an allyl, C<sub>1-3</sub>-alkyl, pyridinyl, ω-[(C<sub>1-3</sub>-alkyl)-amino]-C<sub>2-3</sub>-alkyl or ω-[di-(C<sub>1-3</sub>-alkyl)-amino]-C<sub>2-3</sub>-alkyl group,

5

s denotes one of the numbers 0, 1 or 2 and

R<sub>16</sub> denotes a C<sub>1-3</sub>-alkoxy, amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino or pyridinyl group,

10

a pyrrolidino, 2,5-dihydropyrrol-1-yl, piperidino, morpholino or thiomorpholino group or

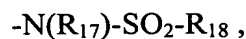
a piperazino or perhydro-1,4-diazepino group optionally substituted in the 4 position by a C<sub>1-3</sub>-alkyl group

15

or, if s denotes the number 1 or 2, it may also represent a hydrogen atom,

a group of formula

20



wherein

R<sub>17</sub> denotes a hydrogen atom,

25

a C<sub>1-3</sub>-alkyl group or

a C<sub>2-3</sub>-alkyl group terminally substituted by an amino, C<sub>1-3</sub>-alkyl-amino or di-(C<sub>1-3</sub>-alkyl)-amino group and

30

R<sub>18</sub> denotes a C<sub>1-3</sub>-alkyl group,

a group of formula

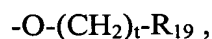


5    wherein

t denotes one of the numbers 1, 2 or 3 and

10     $\text{R}_{19}$  denotes a hydrogen atom or, if n denotes one of the numbers 2 or 3, it may  
also represent a di-( $\text{C}_{1-3}$ -alkyl)-amino group,

or a group of formula



15    wherein

t denotes one of the numbers 1, 2 or 3 and

20     $\text{R}_{19}$  denotes a hydrogen atom or, if n denotes one of the numbers 2 or 3, it may  
also represent a di-( $\text{C}_{1-3}$ -alkyl)-amino group,

or a group of formula



25    wherein

$\text{R}_{20}$  denotes a hydrogen atom or an allyl or  $\text{C}_{1-3}$ -alkyl group and

$\text{R}_{25}$  denotes a  $\text{C}_{1-3}$ -alkyl group or

30

a C<sub>2-3</sub>-alkyl group substituted by an amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group,

5 while the dialkylamino groups contained in the abovementioned groups may contain two identical or different alkyl groups and

the saturated alkyl and alkoxy moieties which contain more than 2 carbon atoms present in the abovementioned groups may be straight-chain or branched, unless otherwise stated,

10 the tautomers, diastereomers, enantiomers, the mixtures thereof and the salts thereof.

4. The compound according to claim 3, wherein

15 X denotes an oxygen atom,

R<sub>1</sub> and R<sub>5</sub> in each case denote a hydrogen atom,

20 R<sub>2</sub> denotes a hydrogen, fluorine or chlorine atom or a methoxycarbonyl, ethoxycarbonyl, dimethylaminocarbonyl, N-ethyl-N-methyl-aminocarbonyl or diethylaminocarbonyl group,

25 R<sub>3</sub> denotes a 3,4-methylenedioxy-1-phenyl, 3,4-ethylenedioxy-1-phenyl, quinoxalin-6-yl, benzimidazol-5-yl, 2-methylbenzimidazol-5-yl or 1-methyl-benzimidazol-5-yl group and

R<sub>4</sub> denotes a phenyl group substituted in the 4 position by the group R<sub>6</sub> which may additionally be substituted in the 3 position by a fluorine or chlorine atom or a methoxy group, while

30 R<sub>6</sub> denotes a 1-(C<sub>1-2</sub>-alkyl)-imidazol-2-yl group,

a 3,5-dimethyl-pyrazol-1-yl group,

a pyrrolid-2-on-1-yl group,

5 a methyl group substituted by the group  $R_7$ , where

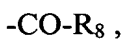
$R_7$  denotes a methylamino, ethylamino, isobutylamino, di-( $C_{1-2}$ -alkyl)-amino, N-(2-hydroxyethyl)-methylamino or N-(2-methoxyethyl)-methylamino group,

10 a pyrrolidino, 3-hydroxypyrrolidino, 2-hydroxymethyl-pyrrolidino, 4-hydroxypiperidino, morpholino, piperazin-1-yl or 1-methyl-piperazin-4-yl group or

a 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl or 1,2,3-triazol-2-yl group,

15 or  $R_6$  denotes an N-acetyl-methylamino or N-methoxyacetyl-methylamino group,

a group of formula



20

wherein

$R_8$  denotes a piperazino or perhydro-1,4-diazepino group optionally substituted by a methyl group in the 4 position,

25

a 4-methyl-piperazin-1-yl-carbonyl-methyl group,

a group of formula

30



wherein

$R_9$  denotes a methyl, cyanomethyl or ethyl group and

5  $R_{10}$  denotes a methyl, 1-methylpiperidin-4-yl, 2-methylamino-ethyl, 2-dimethyl-amino-ethyl or 3-dimethylamino-propyl group,

a group of formula

10  $-N(R_{15})-CO-(CH_2)_s-NMe_2$  ,

wherein

$s$  denotes one of the numbers 1 or 2 and

15

$R_{15}$  denotes a methyl or ethyl group or, if  $n$  denotes the number 2, it may also represent a 3-pyridyl group,

a group of formula

20

$-N(R_{15}')-CO-(CH_2)_s-H$  ,

wherein

25

$s$  denotes one of the numbers 1 or 2 and

$R_{15}'$  denotes a 2-(dimethylamino)-ethyl or 3-(dimethylamino)-propyl group,

or a group of formula

30

$-N(Me)-CO-(CH_2)_s-R_{16}'$  ,

wherein

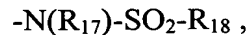
s denotes one of the numbers 1 or 2 and

5

R<sub>16'</sub> denotes a dimethylamino group, or, if s denotes the number 1, it may also represent a 4-(C<sub>1-2</sub>-alkyl)-piperazin-1-yl group,

a group of formula

10



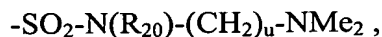
wherein a) R<sub>17</sub> denotes a dimethylaminoethyl group and R<sub>18</sub> denotes a methyl, ethyl or propyl group or

15

wherein b) R<sub>17</sub> and R<sub>18</sub> in each case represent a methyl group,

a group of formula

20



wherein

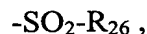
R<sub>20</sub> denotes a hydrogen atom or a methyl group and

25

u denotes one of the numbers 2 or 3,

a group of formula

30



wherein

R<sub>26</sub> denotes a methyl group or a 2-di-(C<sub>1-2</sub>-alkyl)-amino-ethyl group,

or a 2-di-(C<sub>1-2</sub>-alkyl)-amino-ethoxy group,

5

while the dialkylamino groups contained in the abovementioned groups may contain two identical or two different alkyl groups,

the tautomers, diastereomers, enantiomers, the mixtures thereof and the salts thereof.

10

5. The compound according to claim 1 selected from:

(a) 3-(Z)-{1-[4-(dimethylaminomethyl)-phenylamino]-1-(3,4-methylenedioxyphenyl)-  
15 methylene}-6-chloro-2-indolinone

(b) 3-(Z)-{1-(4-[N-methyl-N-(4-methylpiperazin-1-yl-methylcarbonyl)-amino]-  
phenylamino)-1-(quinoxalin-6-yl)-methylene}-6-chloro-2-indolinone

20 (c) 3-(Z)-{1-[4-(N-ethyl-N-methyl-aminomethyl)-phenylamino]-1-(3,4-  
methylenedioxyphenyl)-methylene}-6-chloro-2-indolinone

(d) 3-(Z)-{1-[4-(N-methyl-N-{2-(dimethylamino)-ethyl-carbonyl}-amino)-phenylamino]-  
1-(3,4-ethylenedioxyphenyl)-methylene}-6-fluoro-2-indolinone

25

(e) 3-(Z)-{1-[4-(1,2,4-triazol-1-yl-methyl)-phenylamino]-1-(1-methyl-benzimidazol-5-yl)-  
)-methylene}-6-fluoro-2-indolinone

(f) 3-(Z)-{1-[4-(dimethylaminomethyl)-phenylamino]-1-(1-methyl-benzimidazol-5-yl)-  
30 methylene}-6-chloro-2-indolinone



(g) 3-(Z)-{1-[4-(dimethylaminomethyl)-phenylamino]-1-(3,4-ethylenedioxyphenyl)-methylene}-6-chloro-2-indolinone

5 (h) 3-(Z)-{1-(4-[*N*-methanesulphonyl-*N*-(2-dimethylaminoethyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-chloro-2-indolinone

(i) 3-(Z)-{1-[4-(dimethylaminomethyl)-phenylamino]-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone

10 (j) 3-(Z)-{1-(4-[*N*-methyl-*N*-(4-methylpiperazin-1-yl-methylcarbonyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone

(k) 3-(Z)-{1-(4-[*N*-acetyl-*N*-(2-dimethylaminoethyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-fluoro-2-indolinone

15 (l) 3-(Z)-{1-[4-(ethylaminomethyl)-phenylamino]-1-(3,4-ethylenedioxyphenyl)-methylene}-6-fluoro-2-indolinone

(m) 3-(Z)-{1-(4-[*N*-acetyl-*N*-(3-dimethylaminopropyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-chloro-2-indolinone

(n) 3-(Z)-{1-(4-[*N*-propionyl-*N*-(3-dimethylaminopropyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-chloro-2-indolinone

25 (o) 3-(Z)-{1-(4-[*N*-propionyl-*N*-(2-dimethylaminoethyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-chloro-2-indolinone

(p) 3-(Z)-{1-(4-[*N*-acetyl-*N*-(2-dimethylaminoethyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-chloro-2-indolinone

30

- (q) 3-(Z)-{1-(4-[4-methylpiperazin-1-yl-methyl]-phenylamino)-1-(3,4-methylenedioxyphenyl)-methylene}-6-chloro-2-indolinone
- 5 (r) 3-(Z)-{1-(4-[*N*-methanesulphonyl-*N*-(2-dimethylaminoethyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone
- (s) 3-(Z)-{1-(4-[pyrrolidin-1-yl-methyl]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone
- 10 (t) 3-(Z)-{1-(4-[*N*-methyl-*N*-(dimethylaminomethylcarbonyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone
- (u) 3-(Z)-{1-(4-[ethylamino-methyl]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone
- 15 (v) 3-(Z)-{1-(4-[4-methylpiperazin-1-yl-methyl]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone
- (w) 3-(Z)-{1-(4-[dimethylamino-methyl]-phenylamino)-1-(3,4-methylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone
- 20 (x) 3-(Z)-{1-(4-[diethylamino-methyl]-phenylamino)-1-(3,4-methylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone
- (y) 3-(Z)-{1-[4-(dimethylaminocarbonyl)-phenylamino]-1-(1-methyl-benzimidazol-5-yl)-methylene}-6-fluoro-2-indolinone
- 25 (z) 3-(Z)-{1-(4-[*N*-propionyl-*N*-(3-dimethylaminopropyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-fluoro-2-indolinone

(aa) 3-(Z)-{1-(4-[*N*-propionyl-*N*-(2-dimethylaminoethyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-fluoro-2-indolinone

5 (ab) 3-(Z)-{1-(4-[*N*-methanesulphonyl-*N*-(2-dimethylaminoethyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-fluoro-2-indolinone

(ac) 3-(Z)-{1-(4-[*N*-acetyl-*N*-(2-dimethylaminoethyl)-amino]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone

10 (ad) 3-(Z)-{1-(4-[*N*-methyl-*N*-(2-dimethylaminoethyl)aminocarbonyl]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone

(ae) 3-(Z)-{1-(4-[*N*-methyl-*N*-(3-dimethylaminopropyl)aminocarbonyl]-phenylamino)-1-(3,4-ethylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone

15 (af) 3-(Z)-{1-(4-[*N*-methyl-*N*-(2-dimethylaminoethyl)aminocarbonyl]-phenylamino)-1-(3,4-methylenedioxyphenyl)-methylene}-6-methoxycarbonyl-2-indolinone

20 (az) 3-(Z)-{1-(4-[*N*-methyl-*N*-(4-methylpiperazin-1-yl-methylcarbonyl)-amino]-phenylamino)-1-(3,4-methylenedioxyphenyl)-methylene}-6-chloro-2-indolinone

(be) 3-(Z)-{1-(4-[*N*-methyl-*N*-(4-methylpiperazin-1-yl-methylcarbonyl)-amino]-phenylamino)-1-(3,4-methylenedioxyphenyl)-methylene}-6-fluoro-2-indolinone and

25 (bf) 3-(Z)-{1-(4-[*N*-methyl-*N*-(4-methylpiperazin-1-yl-methylcarbonyl)-amino]-phenylamino)-1-(3,4-methylenedioxyphenyl)-methylene}-6-bromo-2-indolinone,

the tautomers and the salts thereof.

30 6. The physiologically acceptable salt of the compound according to claim 1.

7. A pharmaceutical composition comprising a compound according to of claim 1 together with one or more inert carriers and/or diluents.